Claims

PB1

 A heterodiazinon compound represented by the following formula (I), a pharmacologically acceptable salt thereof or hydrates thereof.

$$\begin{array}{c|c}
R^1 & A & R^4 \\
N & N & O \\
R^2 & &
\end{array}$$

In the formula, A represents oxygen, sulfur or a group represented by the formula >NR3 (wherein R3 represents hydrogen atom or a lower alkyl group) R^1 and R^2 are the same as or different from each other and each represents an optionally substituted aryl group, an optionally subatituted heteroaryl group, an optionally substituted aralkyl group, an optionally aryl alkenyl group, an optionally substituted heteroaryl alkenyl group, an optionally substituted piperidyl group, an optionally substituted piperazinyl group \a morpholinyl group, an optionally substituted lower cycloalky group, a tetrahydrofuranyl group, a tetrahydropyranyl group, an adamantyl group, an optionally substituted amino group or an optionally substituted amide group; and R4 and R5 are the same as or different from each other and each represents hydrogen atom, hydroxyl group, a halogen atom, nitrile group, nitro group, a lower alkyl group, an aryl group or a heteroaryl group,

provided that the compounds represented by the following

formula (II):

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$$R^{11}$$
 R^{12}
 N
 R^{13}
 R^{14}
 R^{14}

(wherein R^{11} and R^{12} are the same as or different from each other and each represent's hydrogen atom, fluorine, chlorine, bromine, iodine, a C1-C2 fluoroalkyl group, a C1-C2 chloroalkyl group, a C1-C2 bromoalkyl group, a C1-C6 alkyl group, a C3-C6 cycloalkyl group, a C7-C9 aralkyl group, phenyl group, a C1-C6 alkoxy group, a C1-C6 alkylthio group, a C1-C6 alkylsulfinyl group, a C7-C9 aralkoxy group, phenoxy group, phenylthio group, phenylsulfonyl group, an alkal metal carboxylate C2-C5 alkoxycarbonyl group or a group represented by the formula -N(R15)R16 (wherein R15 and R16 are the same as or different from each other and each represents hydrogen atom or a C1-C2 alkyl group); and R^{13} and R^{14} are the same as or different from each other and each represents a C_{1-4} alkylsulfonyl group, nitro group, a group represented by the formula $-OCH_nX_{3-n}$ (wherein X represents fluorine, chlorine, bromine or iodine; and n is an integer of 1 to 3) or the same groups as defined above for R11 and R¹²) are excluded.

2. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein \mathbb{R}^4 and \mathbb{R}^5 are the same as or different from each other

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and each represents hydrogen atom, hydroxyl group, a $C_{1-\delta}$ alkyl group or an aryl group.

- 3. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^4 is hydrogen atom and \tilde{R}^5 is hydroxyl group, a C_{1-6} alkyl group or an axyl group.
- 4. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^4 is hydrogen atom and R^5 is hydroxyl group, methyl group, ethyl group, n-propyl group, i-propyl group or phenyl group.
- 5. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^4 and R^5 are the same as or different from each other and each represents methyl group, ethyl group, n-propyl group or i-propyl group.
- 6. The heterodiazinon compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein A is oxygen.
- 7. The heterodiazinon compound according to claim 1, wherein R^4 and R^5 are hydrogen and which is represented by the following formula (III):

$$\begin{array}{ccc}
R^1 & A & \\
N & N & O \\
R^2 & & \end{array}$$
(III)

(wherein A, R1 and R2 have the same meanings as defined above),

a pharmacologically acceptable salt thereof or hydrates thereof.

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- pharmacologically acceptable salt thereof or hydrates thereof, wherein R¹ is an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted heteroaryl group, an optionally substituted heteroaryl alkyl group, an optionally substituted heteroaryl alkyl group, an optionally substituted aryl alkenyl group, an optionally substituted aryl alkenyl group, an optionally substituted heteroaryl alkenyl group, a morpholinyl group, a lower cycloalkyl group, an optionally substituted amide group; and R² is an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted heteroaryl group, an optionally substituted heteroaryl alkyl group, a lower cycloalkyl group, a tetrahydrofuranyl group, an optionally substituted piperidyl group or an adamantyl group.
- 9. The heterodiazinon compound according to claim 7 or 8, a pharmacologically acceptable salt thereof or hydrates thereof, wherein the substituent groups on R¹ and R² are hydrogen atom, halogen atom, hydroxyl group, lower alkyl group, lower alkenyl group, lower alkynyl group, lower alkenyl group, lower alkynyl group, lower alkoxy group, lower thioalkoxy group, hydroxy lower thioalkoxy group, arylthio group, heteroaryl thio group, heteroaryl (hydroxy) alkyl group, halogenated lower alkyl group, hydroxy lower alkyl group, dihydroxy lower alkyl group, halogenated (hydroxy) lower alkyl

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gkoup, hydroxyalkenyl group, hydroxyalkynyl group, hydroxy lowe'x cycloalkenyl group, lower alkoxy(hydroxy)alkyl group, lower alkoxy(hydroxy)alkoxy group, lower alkoxy alkyl group, lower alkoxy alkoxy group, lower thioalkoxy alkoxy group, lower alkyl sulfon l alkoxy group, hydroxy lower alkoxy group, dihydroxy lower alkoxy group, hydroxy lower alkyl alkoxy group, hydroxy imino lower alkyl group, lower cycloalkyl (hydroxy) alkyl group, aralkyl group, hydroxyaralkyl group, cyano group, cyano lower alkyl group, amide group, N-lower alkyl amide group, N-lower cycloalkyl amide group, N, N-di-lower alkyl amide group, N-hydroxy lower alkyl amide group, N-hydroxy lower alkyl-N-lower alkyl amide group, N-aryl amide group, cyclic aminocarbonyl group, carbamoyl group, N-lower alkyl carbamoyl group, N\N-di-lower alkyl carbamoyl group, aminosulfonyl group, cyclic\aminosulfonyl group, Nlower alkyl aminosulfonyl group, N-lower cycloalkyl aminosulfonyl group, N,N-di-lower alkyl\aminosulfonyl group, N-hydroxy lower alkyl aminosulfonyl group, N-lower alkoxy alkyl aminosulfonyl group, N-halogenated lower alk 1 sulfonyl group, pyrrolidinyl sulfonyl group, lower alkyl sulfonyl amino alkyl group, N-lower alkyl aminosulfonyl alkyl group, W, N-di-lower alkyl aminosulfonyl alkyl group, lower acyl group, lower acyl alkyl group, lower cycloalkyl(hydroxy)methyl group, tetrahydropyranyl group, hydroxytetrahydropyranyl gr ∂_{μ} p, hydroxy lower alkyl tetrahydropyranyl group, lower acyl amino alkyl group, (thiazole-2-yl)hydroxymethyl group,

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di (thiazole-2-y1) hydroxymethyl group, lower alkyl sulfonyl group, lower alkoxy alkyl sulfonyl group, hydroxy lower alkyl sulfony) group, lower alkyl sulfonyl alkyl group, N-lower alkyl amide alky group, aryl group, aralkyl group, heteroaryl group, heteroaryl lower alkyl group, heteroaryl lower alkoxy group, heteroaryl sulfonyl group, 4-morpholinyl sulfonyl group, 4oxythiomorpholiny\ sulfonyl group, 4-dioxythiomorpholinyl sulfonyl group, 4-marpholinyl sulfonyl group, hydroxy lower cycloalkyl group, hydroxy lower cycloalkyloxy group, hydroxy cycloalkenyl group, halogenated hydroxy lower alkyl group, 4-hydroxypiperidyl group, 4 lower alkoxypiperidyl group, ω , ω -lower alkylene dioxyalkyl group, ω , ω -lower alkylene dioxy alkoxy group, lower cycloalkyl hydroxy methyl group, aryloxy group, aryl aminosulfonyl group, ami\u03c4o group, lower alkyl amino group, di-lower alkyl amino group, hydroxy lower alkyl amino group, lower acyl amino group, hydroxy lawer acyl amino group, lower alkyl sulfonyl amino group, pyridyl lower alkoxy group, lower alkyl pyridyl alkoxy group, lower alkoxy hydroxy alkoxy group, lower thioalkoxy alkoxy group, lower alkyl sulfonyl alkoxy group, N-lower alkyl carbamoyl group, N,N-di-lower alkyl carbamoyl group, N-hydroxy lower alkyl carbamoyl group, Nhydroxy lower alkyl-N-lower alkyl carbamoyl group, halogenated lower alkoxy group, cyano lower alkoxy group, hydroxy lower cycloalkoxy group, trifluoromethyl group, trifluoromethoxy group, amino lower alkoxy group, N-lower alkyl aminoalkoxy group, N,N-di-lower alkyl aminoalkoxy group, lower acyl alkoxy

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group, lower acyl aminoalkoxy group, (1,3-dioxolanyl) lower alkyl group, (1,3-dioxolanyl) lower alkoxy group, amide lower alkoxy group, 4-(hydroxy alkyl)tetrahydropyran-4-yl group, 2,3-dihydrobenzofuranyl group, 2-hydroxy-2-alkyl-2,3-dihydrobenzofuranyl group, indanonyl group, hydroxyindanyl group, imidazolyl lower alkoxy group, succimide group or 2-oxazolidone-3-yl group, optionally substituted benzoyloxy lower alkyl group, optionally substituted amino lower alkyl group, optionally substituted amino lower alkyl group, optionally substituted aralkyloxy group, optionally substituted morpholinyl lower alkoxy group, optionally substituted morpholinyl lower alkoxy group, optionally substituted piperidyl lower alkoxy group or optionally substituted piperazinyl lower alkoxy group or optionally substituted pyrrolidinyl lower alkoxy group.

10. The heterodiazinon compound according to claims 7 to 9 represented by the following formula (IV):

$$\begin{array}{ccc}
R^1 & O \\
N & N \\
R^2 & O
\end{array} (IV)$$

(wherein R^1 and R^2 have the same meanings as defined above), a pharmacologically acceptable salt thereof or hydrates thereof.

11. The heterodiazinon compound according to claims 7 to 10, a pharmacologically acceptable salt thereof or hydrates thereof, wherein the aryl group is a group selected from phenyl

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proup, indenyl group, naphthyl group, azulenyl group, hebtalenyl group and anthnyl group; the heteroaryl group is a group selected form thienyl group, furyl group, pyranyl group, pyrrolyl group, imidazolyl group, pyrazolyl group, triazolyl group, tetrazolyl group, isothiazolyl group, thiazolyl group, thiadiazolyl group, isoxazolyl group, pyridyl group, pyrazinyl group, pyrimidyl group, pyridazinyl group, indolizinyl group, isoindolyl group, indolyl group, indazolyl group, isoquinolyl group, quinolyl group, phthalazinyl group, naphthylidinyl group, quinoxalinyl group, quinazolinyl group and cinolynyl group; and the lower cycloalkyl group is a group selected from cyclopropyl group, cyclobatyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group and cycloctyl group.

- 12. The heterodiazinon compound according to claims 7 to 11, which is the compound selected from the following compounds or pharmacologically acceptable salts thereof or hydrates thereof.
- (1) 2-(2-Pyridyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (2) 2-(2-pyrazinyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (3) 2-(1-methyl-2-pyrolyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (4) 2,4-diphenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (5) 2-(2,3-dimethoxyphenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (6) 2-(2-pyrroly1)-4-pheny1-4H-1,3,4-oxadiazine-5(6H)- δ_{ne} ,
- (7) 2-(2-quinoly1)-4-pheny1-4H-1,3,4-oxadiazine-5(6H)-one

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8) 2-(6-methyl-2-pyridyl)-4-phenyl-4H-1,3,4-oxadiazine-
5(6H)-one,
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- (9) 2 benzoyloxymethyl-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (10) 2-(2-pyridyl)-4-(2,4-difluorophenyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (11) 2-(2 pyridyl)-4-cyclohexyl-4H-1,3,4-oxadiazine-5(6H)one,
- (12) 2-(2-chloro-4-pyridyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (13) 2-(3-methoxy-2-pyridyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (14) 2-(3-hydroxy-2-pyridyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (15) 2-styryl-4-phenyl-4H-1,3,4-exadiazine-5(6H)-one,
- (16) 2-[2-(3-pyridyl)vinyl]-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (17) 2-(2-methoxyphenyl)-4-(2-bromophenyl)-4H-1,3,4-oxadiazine-5 (6H)-one,
- (18) 2-(4-nitrophenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)one,
- (19) 2-(3-nitrophenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)one,
- (20) 2-(2-nitrophenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)one,
- (21) 2-(4-morpholinyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)

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- (2x) 2-cyclohexyl-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (23)\2-dimethylamino-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-

one,

one,

- (24) 2-dimethylamino-4-phenyl-4H-1,3,4-thiadiazine-5(6H)-
- (25) 2-(2,6-dimethoxyphenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (26) 2-(2-methoxyphenyl)-4-(2-fluorophenyl)-4H-1,3,4oxadiazine-5(6H)-one,
- (27) 2-phenyl-4-cyclohexyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (28) 2-(2-methoxyphenyl)-4-cyclohexyl-4H-1,3,4-oxadiazine-

5(6H)-one,

- (29) 2-(3-pyridyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (30) 2-phenyl-4-(2-bromophenyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (31) 2-(2-thienyl)-4-phenyl-4H- $\frac{1}{4}$, 3, 4-oxadiazine-5(6H)-one,
- (32) 2-benzyl-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (33) 2 (2 pyridyl) 4 (2 bromophenyl) 4H 1, 3, 4 oxadiazine

5(6H)-one,

(34) 2-(2-pyridyl)-4-(2-fluorophenyl)-4-, 3,4-oxadiazine-

5(6H)-one,

(35) $2-(2-\text{pyridyl})-4-(2-\text{methoxyphenyl})-4H-1 \setminus 3,4-\text{oxadiazine}$

5(6H)-one,

(36) 2-phenyl-4-(2-cyanophenyl)-4H-1,3,4-oxadiakine-5(6H)-one,

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(37) 2-phenyl-4-(2-nitrophenyl)-4H-1,3,4-oxadiazine-5(6H)
one,
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- (38) 2-phenyl-4-(2-pyridyl)-4H-1,3,4-oxadiazine-5(6H)/-one,
- (39) 2-phenyl-4-(3-pyridyl)-4H-1,3,4-oxadiazine-5(8H)-one,
- (40) 2-phenyl-4-(3-cyano-2-pyridyl)-4H-1,3,4-oxadiazine-
- 5(6H)-one,
- (41) 2-phenyl-4-(2-hydroxymethylphenyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (42) 2-phenyl-4-(2-cyano-3-pyridyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (43) 2-phenyl-4-(2-thienyl)-4H-1,3/4-oxadiazine-5(6H)-one,
- (44) 2-phenyl-4-(3-thienyl)-4H-1/3,4-oxadiazine-5(6H)-one,
- (45) 2-phenyl-4-(4-cyanophenyl)-4H-1,3,4-oxadiazine-5(6H)one,
- (46) 2-phenyl-4-(3-cyanophenyl)-4H-1,3,4-oxadiazine-5(6H)one,
- (47) 2-phenyl-4-(2-cyano-3-thienyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (48) 2-(2-hydrox/phenyl)-4-(2-bromophenyl)-4H-1,3,4-oxadiazine-5(6A)-one,
- (49) 2-(2-hydroxyphenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one
- (50) 2-phenyl-4-(2-hydroxyphenyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (51) 2-(2-hydroxyphenyl)-4-(2-fluorophenyl)-4H-1,3,4oxadiazine-5(6H)-one,

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(52) 2-(2-hydroxyphenyl)-4-(4-fluorophenyl)-4H-1,3,4
oxadiazine-5(6H)-one,
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- (53) 2-(2-hydroxyphenyl)-4-(2,4-difluorophenyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (54) $2 [2 (2 dimethylamino) ethoxyphenyl] 4 <math>\sqrt{2}$ -bromophenyl) -
- 4 H-1,3,4-oxadiazine-5(6H)-one,
- (55) 2-[2-(4-pyridyl)methoxyphenyl]-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (56) 2-{2-[2-(4-morpholinyl)ethoxy]phenyl}-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (57) 2-[2-(2-pyridyl)methoxypheryl]-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (58) 2-[2-(3-pyridyl)methoxyphenyl]-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (59) 2-{2-[2-(1-piperidyl)ethoxy]phenyl}-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (60) 2-{2-[2-(1-pyrfolidinyl)ethoxy]phenyl}-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (61) 2-[2-(2-dimethylaminoethoxy)phenyl]-4-phenyl-4H-1,3,4-oxadiazine-5 (6H)-one,
- (62) $2 [2 \sqrt{3} \text{dimethylaminopropoxy}) \text{ phenyl} 4 \text{phenyl} 4H -$
- 1,3,4-oxadiazine-5(6H)-one,
- (63) $2/\{2-[3-(1-piperidinyl)propoxy]phenyl\}-4-phenyl-4H-$
- 1,3,4-oxadiazine-5(6H)-one,
- (64) 2-phenyl-{4-[2-(4-morpholinyl)ethoxy]phenyl}-4H-1,3,4oxadiazine-5(6H)-one,

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(65) 2-phenyl-4-[2-(2-dimethylaminoethoxy)phenyl]-4H-1,3,4 oxadiazine-5(6H)-one,
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(66) 2-[2-(2-dimethylaminoethoxy)phenyl]-4-(2-

fluorophenyl)-4H-1,3,4-oxadiazine-5(6H)-one,

(67) 2-{2-[2-(4-morpholinyl)ethoxy]phenyl}-4-(2-

fluorophenyl)-4H-1,3,4-oxadiazine-5(6H)-one,

(68) $2 - \{2 - \{2 - \{4 - morpholiny \}\} + 4 / \{2 - \{4 - morpholiny \}\} \}$

bromophenyl) - 4H-1,3,4-oxadiazine-5(6H) - one,

(69) $2-\{2-[2-(4-morpholinyl)ethoxy]pheny1\}-4-cyclohexyl-4H-$

1,3,4-oxadiazine-5(6H)-one,

 $(70) 2 - \{2 - [2 - (4 - morpholiny 1) ethoxy] pheny 1\} - 4 - (4 - morpholiny 1) ethoxy pheny 1 - (4 - morpholiny 1) ethoxy pheny 1 - (4 - morpholiny 1) ethoxy pheny$

fluorophenyl)-4 H-1,3,4-oxadiazin/e-5(6H)-one,

(71) 2-{2-[2-(4-morpholinyl)ethoxy]phenyl}-4-(2,4-

difluorophenyl)-4H-1,3,4-oxadiazine-5(6H)-one,

(72) 2-[3-(2-hydroxyethoxy) -2-pyridyl]-4-phenyl-4H-1,3,4-

oxadiazine-5(6H)-one,

 $(73) 2 - \{3 - \{2 - (4 - morph \beta liny 1) e thoxy\} - 2 - pyridy 1\} - 4 - pheny 1 - 4H -$

1,3,4-oxadiazine-5(6H)-one,

 $(74) 2 - \{3 - [2 - (1 - p'iperidyl) ethoxy] - 2 - pyridyl\} - 4 - phenyl - 4H -$

1,3,4-oxadiazine-5(6H)-one,

(75) 2-{3-[2/(1-pyrrolidiny1)ethoxy]-2-pyridy1}-4-pheny1-

4H-1,3,4-9 xadiazine-5(6H)-one,

 $(76) 2-\sqrt{3-[2-(1-methyl-2-pyrrolidinyl)ethoxy]-2-pyridyl}-4-$

pheny1-4H-1,3,4-oxadiazine-5(6H)-one,

(77) 2-[3-(2-dimethylaminoethoxy)-2-pyridyl]-4-phenyl-4H-

1,3,4-oxadiazine-5(6H)-one,

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(78) 2-(3-aminophenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-
one,
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- (79)\2-(2-aminophenyl)-4-phenyl-4H-1,3,4-oxadiazine-5(6H)one,
- (80) 2-phenyl-4-(tetrahydro-4H-pyran-4-yl)-4H-1,3,4-oxadiazine 5(6H)-one,
- (81) 2-phenyl-4-(1-methyl-4-piperidyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (82) 2-phenyl-4-(3-quinuclidinyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (83) 2-pyridyl-4-(1-benzyl-4-piperidyl)-4H-1,3,4oxadiazine-5(6H)-one,
- (84) 2-phenyl-4-(3-tetrahydrofuranyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (85) 2-phenyl-4-cyclopentyl \backslash 4H-1,3,4-oxadiazine-5(6H)-one,
- (86) 2-phenyl-4-(1-benzyl-4-piperidyl)-4H-1,3,4-oxadiazine-5(6H)-one,
- (87) 2-phenyl-4-[1-(2-pyridyl)ethyl]-4H-1,3,4-oxadiazine-5(6H)-one,
- (88) 2-phenyl-4-[1-(3-pyridyl)ethyl] 4H-1,3,4-oxadiazine-5(6H)-one,
 - (89) 2-phenyl-4-[1-(4-pyridyl)ethyl]-4H-1,3,4-oxadiazine-5(6H)-one,
 - (90) 2-(3-dimethylaminophenyl)-4-phenyl-4H-1 3,4-oxadiazine-5(6H)-one,
 - (91) $2 (2 dimethylaminophenyl) 4 phenyl 4H 1, 3, 4 \$

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oxadiazine-5(6H)-one,

- (92) 2-[2-(4-pyridyl)methylaminophenyl]-4-phenyl 4H-1,3,4-oxadiazine-5(6H)-one,
- (93) 2-[2-(3-pyridyl)methylaminophenyl]-4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one,
- (94) 2-(4-pyridyl)-4-phenyl-4H-1,3/4-oxadiazine-5(6H)-one,
- (95) N-(2-pyridyl)-[4-phenyl-4H/1,3,4-oxadiazine-5(6H)-one-2-yl]carboxamide,
- (96) N-(3-pyridyl)-[4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one-2-yl]carboxamide,
- (97) N-(4-pyridyl)-[4-phenyl-4H-1,3,4-oxadiazine-5(6H)-one-2-yl]carboxamide,
- (98) 1,3-diphenyl-4-methyl-4,5-dihydro-1,2,4-triazine-6(1H)-one and
- (99) 1-phenyl-3-(2-pyridyl)-4-methyl-4,5-dihydro-1,2,4riazine-6(1H)-one.
- 13. A pharmaceutical composition comprising a pharmacologically acceptable amount of the compound represented by the following formula (I), a pharmaceutically acceptable salt thereof or hydrates thereof, and pharmacologically acceptable carriers.

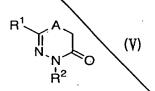
$$\begin{array}{c|c}
R^1 & A & R^4 \\
N & N & O \\
R^2 & & & \\
\end{array}$$

In the formula, A represents oxygen, sulfur or a group represented by the formula $>NR^3$ (wherein R^3 represents hydrogen

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atom or a lower alkyl group); R¹ and R² are the same as or different from each other and each represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyl group, an optionally substituted aryl group, an optionally substituted aryl alkenyl group, an optionally substituted aryl alkenyl group, an optionally substituted heteroaryl alkenyl group, an optionally substituted piperidyl group, an optionally substituted piperazinyl group, a morpholinyl group, an optionally substituted lower cycloalkyl group, a tetrahydrofuranyl group, a tetrahydropyranyl group, an adamantyl group, an optionally substituted amide group; and R⁴ and R⁵ are the same as or different from each other and each represents hydrogen atom, hydroxyl group, halogen atom, nitrile group, nitro group, a lower alkyl group, an aryl group or a heteroaryl group,

14. The pharmaceutical composition according to claim 13, wherein R^4 and R^5 in the compound are hydrogen atoms, and the compound is represented by the following formula (V):



wherein A, R^1 and R^2 have the same meanings as defined above.

15. A pharmaceutical preparation comprising the compound represented by the following formula (I), a pharmaceutically acceptable salt thereof or hydrates thereof.

$$\begin{array}{c|c}
R^1 & A & R^4 \\
N & R^5 \\
N & O
\end{array}$$

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In the formula, A represents oxygen, sulfur or a group represented by the formula >NR3 (wherein R3 represents hydrogen atom or a lower alkyl group); R1 and R2 are the same as or different from each other and each represents an optionally substituted aryl group, an optionally substituted heteroaryl group, an optionally substituted aralkyl group, an optionally substituted heteroaryl alkyl group, an optionally substituted aryl alkenyl group, an optionally substituted heteroaryl alkenyl group, an optionally substituted piperidyl group, an optionally substituted piperazinyl group, a morpholinyl group, an optionally substituted lower cycloalkyl group, a tetrahydrofuranyl group, a tetrahydropykanyl group, an adamantyl group, an optionally substituted amino group or an optionally substituted amide group; and R4 and R5 are the same as or different from each other and each represents hydrogen atom, hydroxyl group, a halogen atom, nitrile group, nitro group, a lower alkyl group, an aryl group or a heteroaryl group,

16. The pharmaceutical preparation according to claim 15, wherein R^4 and R^5 in the compound are hydrogen atoms, and the compound is represented by formula (V):

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$$\begin{array}{ccc}
R^1 & A & & \\
N & N & O & \\
R^2 & & &
\end{array}$$

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wherein A, R1 and R2 have the same meanings as defined above.

- 17. The pharmaceutical preparation according to claim 15 or 16 for use as an agent for preventing, treating and ameliorating diseases against which non-N-methyl-D-aspartate excitatory amino acid receptor antagonistic action is effective.
- 18. The pharmaceutical preparation according to claim
 15 or 16 for use as an agent for preventing, treating and
 ameliorating diseases against which 2-amino-3-hydroxy-5methyl-4-isoxazole propionic acid receptor antagonistic
 action is effective.
- 19. The pharmaceutical preparation according to claim
 15 or 16 for use as an agent for preventing, treating and
 ameliorating nerve degeneration diseases.
- 20. The pharmaceutical preparation according to claim
 15 or 16 for use as an agent for preventing, treating and
 ameliorating demyelinating nerve diseases.
- 21. The pharmaceutical preparation according to claim 15 or 16 for use as an agent for preventing, treating and ameliorating acute nerve degeneration after cerebral ischemia, traumas in the head and spinal injuries, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, Huntington's chorea, epilepsy, pain, multiple sclerosis, encephalomyelitis, Guillain Barre syndrome, Marchiafava Bignami disease, Devic disease, Balo disease, HIV or HTLV myelopathy or leukoencephalopathy.

- 22. A method of preventing, treating and ameliorating diseases against which non-N-methyl-D-aspartate excitatory amino acid receptor antagonistic action is effective, which comprises administering a pharmacologically effective amount of the pharmaceutical preparation according to claim 15 or 16 to a patient.
- 23. A method of preventing, treating and ameliorating diseases against which 2-amino-3-hydroxy-5-methyl-4-isoxazole propionic acid receptor antagonistic action is effective, which comprises administering a pharmacologically effective amount of the pharmaceutical preparation according to claim 15 or 16 to a patient.
- 24. A method of preventing, treating and ameliorating nerve degeneration diseases, which comprises administering a pharmacologically effective amount of the pharmaceutical preparation according to claim 15 or 16 to a patient.
- 25. A method of preventing, treating and ameliorating demyelinating nerve diseases, which comprises administering a pharmacologically effective amount of the pharmaceutical preparation according to claim 15 or 16 to a patient.
- 26. A method of preventing, treating and ameliorating acute nerve degeneration after cerebral ischemia, traumas in the head and spinal injuries, Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, Huntington's chorea, epilepsy, pain, multiple sclerosis, encephalomyelitis, Guillain Barre syndrome, Marchiafava Bignami disease, Devic

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disease, Balo disease, HIV or HTLV myelopathy or leukoencephalopathy, which comprises administering a pharmacologically effective amount of the pharmaceutical preparation according to claim 15 or 16 to a patient.

- 27. Use of the compound according to claim 15 or 16 for producing an agent for preventing, treating and ameliorating diseases against which non-N-methyl-D-aspartate excitatory amino acid receptor antagonistic action is effective.
- 28. Use of the compound according to claim 15 or 16 for producing an agent for preventing, treating and ameliorating diseases against which 2-amino-3-hydroxy-5-methyl-4-isoxazole propionic acid receptor antagonistic action is effective.
- 29. Use of the compound according to claim 15 or 16 for producing an agent for preventing treating and ameliorating nerve degeneration diseases.
- 30. Use of the compound according to claim 15 or 16 for producing an agent for preventing, treating and ameliorating demyelinating nerve diseases.
- 31. Use of the compound according to claim 15 or 16 for producing an agent for preventing, treating and ameliorating acute nerve degeneration after cerebral ischemia, traumas in the head and spinal injuries, Alzheimer's disease Rarkinson's disease, amyotrophic lateral sclerosis, Huntington's chorea, epilepsy, pain, multiple sclerosis, encephalomyelitis, Guillain Barre syndrome, Marchiafava Bignami disease Devic

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disease, Balo disease, HIV or HTLV myelopathy or leukoencephalopathy.